

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization International Bureau



(43) International Publication Date
23 June 2005 (23.06.2005)

PCT

(10) International Publication Number
WO 2005/055946 A2

(51) International Patent Classification⁷: **A61K**

SCHWARTZ, Marc [US/US]; 324 Oak Lane, west Windsor, NJ 08550 (US). WU, Bingyuan [CN/US]; 23 Pebble Drive, Horsham, PA 19044 (US).

(21) International Application Number:
PCT/US2004/041004

(74) Agents: MANN, Jeffry, S. et al.; Morgan Lewis & Bockius LLP, 2 Palo Alto Square, Suite 700, 3000 El Camino Real, Palo Alto, CA 94304 (US).

(22) International Filing Date: 3 December 2004 (03.12.2004)

(81) Designated States (*unless otherwise indicated, for every kind of national protection available*): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:

60/526,796	3 December 2003 (03.12.2003)	US
60/539,387	26 January 2004 (26.01.2004)	US
60/555,813	23 March 2004 (23.03.2004)	US
60/570,282	11 May 2004 (11.05.2004)	US
60/592,744	29 July 2004 (29.07.2004)	US
60/614,518	29 September 2004 (29.09.2004)	US
60/623,387	29 October 2004 (29.10.2004)	US

(84) Designated States (*unless otherwise indicated, for every kind of regional protection available*): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

(71) Applicant (*for all designated States except US*): NEOSE TECHNOLOGIES, INC. [US/US]; 102 Witmer Road, Horsham, PA 19044 (US).

Published:

— without international search report and to be republished upon receipt of that report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(72) Inventors; and

(75) Inventors/Applicants (*for US only*): DEFREES, Shawn [US/US]; 126 Filly Drive, North Wales, PA 19454 (US). CLAUSEN, Henrik [DK/DK]; Soebrinken 6, DK-2840 Holte (DK). ZOPF, David, A. [US/US]; 560 Beechtree Lane, Wayne, PA 19087 (US). WANG, Zhi-Guang [US/US]; 1473 Golden Drive, Dresher, PA 19015 (US).

WO 2005/055946 A2

(54) Title: GLYCOPEGYLATED GRANULOCYTE COLONY STIMULATING FACTOR

(57) Abstract: The present invention provides conjugates between Granulocyte Colony Stimulating Factor and PEG moieties. The conjugates are linked via an intact glycosyl linking group that is interposed between and covalently attached to the peptide and the modifying group. The conjugates are formed from both glycosylated and unglycosylated peptides by the action of a glycosyltransferase. The glycosyltransferase ligates a modified sugar moiety onto either an amino acid or glycosyl residue on the peptide. Also provided are pharmaceutical formulations including the conjugates. Methods for preparing the conjugates are also within the scope of the invention.